

Title (en)  
DOUBLE-ACYLATED GLP-1 DERIVATIVES

Title (de)  
ZWEIFACH ACYLIERTE GLP-1-DERIVATE

Title (fr)  
DÉRIVÉS À DOUBLE ACYLATION DE GLP-1

Publication  
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Application  
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Abstract (en)  
[origin: US2011166321A1] The invention relates to a derivative of a GLP-1 analogue, which analogue comprises a first K residue at a position corresponding to position 37 of GLP-1(7-37) (SEQ ID NO: 1), a second K residue at a position corresponding to position 26 of GLP-1(7-37), and a maximum of ten amino acid modifications as compared to GLP-1(7-37), wherein the first K residue is designated K37, and the second K residue is designated K26, which derivative comprises two albumin binding moieties attached to K26 and K37, respectively, wherein the albumin binding moiety comprises a protracting moiety selected from:  $\text{HOOC}-(\text{CH}_2)_x-\text{CO}-^*$  Chem. 1:  $\text{HOOC}-\text{C}_6\text{H}_4-\text{O}-(\text{CH}_2)_y-\text{CO}-^*$  Chem. 2:  $\text{R}_1-\text{C}_6\text{H}_4-(\text{CH}_2)_z-\text{CO}-^*$  Chem. 3:  $\text{HOOC}-\text{C}_4\text{SH}_2-(\text{CH}_2)_w-\text{CO}-^*$  Chem. 4: in which x is an integer in the range of 6-18, y is an integer in the range of 3-17, z is an integer in the range of 1-5, R<sub>1</sub> is a group having a molar mass not higher than 150 Da, and w is an integer in the range of 6-18; with the proviso that when the protracting moiety is Chem. 1, the albumin binding moiety further comprises a linker of formula Chem. 5:  $^*-\text{NH}-(\text{CH}_2)_2-(\text{O}-(\text{CH}_2)_2)_k-\text{O}-(\text{CH}_2)_n-\text{CO}-^*$ , wherein k is an integer in the range of 1-5, and n is an integer in the range of 1-5; or a pharmaceutically acceptable salt, amide, or ester thereof. The invention also relates to the pharmaceutical use thereof, for example in the treatment and/or prevention of all forms of diabetes and related diseases, as well as to corresponding novel peptides and side chain intermediates. The derivatives are suitable for oral administration.

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